10/616,283

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STM-STRUCTWRE SEARCH

YRIGHT 2004 ACS ON STN

02825 CARLUS

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:502825 CAPLUS

DOCUMENT NUMBER:

137:63237

TITLE:

Preparation of oxazolyl- and

thiazolylalkoxybenzylqlycines and related compounds as

antidiabetic and antiobesity agents

INVENTOR(S):

Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; Chen,

Sean; Zhang, Hao

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

U.S., 190 pp., Cont.-in-part of U.S. Ser. No. 664,598.

Ι

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE
TAILNI NO.	101110	22112	
		00000000	HG 0001 010060 0001000
US 6414002	B1	20020702	US 2001-812960 20010320
US 2003069275	A1	20030410	US 2002-80965 20020222
US 2003087935	A1	20030508	US 2002-81075 20020222
US 2003096846	A1	20030522	US 2002-80981 20020222
US 6653314	B2	20031125	
PRIORITY APPLN. INFO.	;		US 1999-155400P P 19990922
			US 2000-664598 A2 20000918
			US 2001-812960 A3 20010320

OTHER SOURCE(S):

MARPAT 137:63237

GT

$$R^{2}$$
?

 R^{2}
 R^{2}

Title compds. I [wherein Q = C, N; A = O, S; B = (CH2)x; Z = O, bond; X = CAB CH, N; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, amino; R3 = H, alkyl, aralkyl, aryloxycarbonyl, alkoxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R2a, R2b, R2c = H, alkyl, alkoxy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4 = H, alkyl, prodrug or ester; R4a = H, prodrug ester; R5 = alkyl, aryl; x =1-4; m, n = 1, 2] were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to

ΙI

give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addition of N-benzylglycine Et ester and NaBH(OAc)3 in 1,2-dichloroethane afforded the benzylamine derivative (55%), which was stirred with aqueous NaOH in MeOH for

14 h

to give the title compound II (71%). I are useful for the treatment of diabetes, especially Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases (no data).

IT 331743-71-6P, Glycine, N-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[[[3-(methylthio)phenyl]amino]carbonyl]-RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 331743-71-6 CAPLUS

CN Glycine, N-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N[[[3-(methylthio)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Ph CH2-CH2-O

Me

(CA

CH2-CO2H

CH2-CO2H

CH2-CH2-N-C-NH

Me

REFERENCE COUNT:

24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:228872 CAPLUS

DOCUMENT NUMBER:

134:266299

TITLE:

Preparation of oxazolyl- and

thiazolylalkoxybenzylglycines and related compounds as

antidiabetic and antiobesity agents.

INVENTOR(S):

Cheng, Peter T. W.; Devasthale, Pratik; Jeon, Yoon T.;

Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

PCT Int. Appl., 362 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

TANCIDOE.

SOURCE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	Ο.	DATE			
									-			-		-			
WO	2001	0216	02	Α	1	2001	0329		W	O 20	00-U	S257	10	2000	0919		
	W :	ΑE,	AG,	ΑL,	ΑM,	AΤ,	ΑU,	ΑZ,	BΑ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,
		CU,	$\mathbb{C}\mathbb{Z}$,	DE,	DK,	DM,	${\mathbb D} Z$,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,
		ID,	IL,	IN,	IS,	JΡ,	KΕ,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,
		SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VN,	YU,	ZA,
		ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM						
	RW:	GH,	GM,	KE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG			
EΡ	1218	361		A	1 :	2002	0703		E	P 20	00-9	6517:	2	2000	0919		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL

BR 2000014189 BR 2000-14189 20000919 Α 20020820 JP 2003509503 T220030311 JP 2001-524981 20000919 NO 2002001408 20020514 NO 2002-1408 20020321 PRIORITY APPLN. INFO.: US 1999-155400P P 19990922 WO 2000-US25710 W 20000919

OTHER SOURCE(S): MARPAT 134:266299

GI

$$\begin{array}{c|c} \text{Ph} & & & \\ & N & & \\ \text{O} & & & \\ & \text{Ne} & & \\ \end{array}$$

Title compds. [I; Q = C, N; A = O, S; B = (CH2)x; Z = O, bond; X = CH, N; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, amino; R3 = H, alkyl, aralkyl, aryloxycarbonyl, alkoxycarbonyl, aryloxycarbonyl, aryloxyarylalkyl, etc.; R2a, R2b, R2c = H, alkyl, alkoxy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4 = H, alkyl, prodrug or ester; R4a = H, prodrug ester; R5 = alkyl, aryl; x = 1-4; m, n = 1, 2], were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to give 65% 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)3 in 1,2-dichloroethane to give 55% benzylamine derivative, which was stirred 14 h with aqueous NaOH in MeOH to give 71% title compound (II).

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IT 331743-71-6P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 331743-71-6 CAPLUS

Glycine, N-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[[[3-(methylthio)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2-\text{CO}_2\text{H} \\ \text{CH}_2-\text{CO}_2\text{H} \\ \text{CH}_2-\text{CH}_2-\text{O} \end{array} \\ \begin{array}{c} \text{SMe} \\ \text{O} \end{array}$$

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

3

ACCESSION NUMBER:

2001:167981 CAPLUS

DOCUMENT NUMBER:

134:208132

TITLE:

Preparation of hypoglycemic N, N-aryl(sulfonyl)glycine

compounds

INVENTOR(S):

Dominianni, Samuel James Eli Lilly and Company, USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
PATENT NO.
                           KIND DATE
                                                      APPLICATION NO.
                            _ _ _ _
                                    _____
                                                       _____
                                                    WO 2000-US20779 20000816
      WO 2001016119
                           A1
                                    20010308
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, WH, ZA, ZH, AM, AZ, BY, WC, WZ, MD, BU, TZ, TM,
                YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
                CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                 20020619
                                                    EP 2000-959153 20000816
      EP 1214301
                           Α1
                AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO, MK, CY, AL
                            B1 20030909
      US 6617343
                                                      US 2002-69033
                                                                            20020507
PRIORITY APPLN. INFO.:
                                                   US 1999-151167P P 19990827
                                                   WO 2000-US20779 W 20000816
```

OTHER SOURCE(S): MARPAT 134:208132

AB Aryl(sulfonyl)glycine compds. R3SO2NRCR1R2CO2H [R is Ph substituted by alkoxyalkyl, alkoxyaryl, alkoxyalkylaryl, aralkylalkoxy, or alkoxyalkylheterocyclyl; R1-R3 represent alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, or heteroaralkyl fragments of 1 to 8 carbon atoms with or without substituents; R1 and R2 may independently be hydrogen] and their pharmaceutically acceptable salts or prodrugs were prepared for treating hyperglycemia associated with non-insulin dependent diabetes and for treating hyperlipidemia. Thus, N-[4-[2-(2-phenyl-4-oxazolyl)ethoxy]phenyl]-N-(isopropylsulfonyl)glycine was prepared by treating 4-[2-(2-phenyl-4-oxazolyl)ethoxy]aniline with isopropylsulfonyl chloride and then Me bromoacetate. Pharmaceutical formulations containing the title compds. are described.

IT 328248-01-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hypoglycemic arylsulfonylglycine compds.)

RN 328248-01-7 CAPLUS

Glycine, N-[(dimethylamino)sulfonyl]-N-[4-[2-(2-phenyl-4-CN oxazolyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} & \begin{array}{c} \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{O} \\ \end{array} \\ \begin{array}{c} \text{N} - \text{CH}_2 - \text{CO}_2 \text{H} \\ \\ \text{S} - \text{NMe}_2 \\ \\ \text{O} \end{array}$$

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1998:250700 CAPLUS

DOCUMENT NUMBER:

128:295059

TITLE:

Preparation of pyridyl- and naphthyridylalkoxybenzoyl-

 α -(phenylsulfonylamino)- β -alanine derivatives and analogs for inhibiting

osteoclast-mediated bone resorption

INVENTOR(S):

Hartman, George D.; Duggan, Mark E.; Hoffman, William

F.; Ihle, Nathan C.

PATENT ASSIGNEE(S):

Merck and Co., Inc., USA

SOURCE:

U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 250,218,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA ^r	TENT :	NO.		KI	ND	DATE			А	PPLI	CATI	N MC	Ο.	DATE			
	5741 9532													1996			
	W :	AM,											-	HU,		JP,	KG,
		KR,	KΖ,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	MX,	NO,	NZ,	PL,	RO,	RU,	SG,
		SI,	SK,	TJ,	TM,	TT,	UΆ,	US,	UΖ								
	RW:	KΕ,	MW,	SD,	SZ,	UG,	AT,	ΒE,	CH,	DΕ,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,
		LU,	MC,	ΝL,	PΤ,	SE,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	NΕ,
		SN,	TD,	TG													
US	5929	120		А		1999	0727		U	S 19:	98-1	5982		1998	0130		
PRIORITY	Y APP	LN.	INFO	. :				1	US 1	994 -	2502	18	В2	1994	0527		
								1	WO 1	995-1	JS591	38	W	19950	0512		
								1	US 1	996-	71409	97	АЗ	19960	0926		
OTHER SO	OT IR CE	(S).			MAD	ייי אם	120.1	2950	50								

OTHER SOURCE(S):

MARPAT 128:295059

GΙ

$$X-Y$$
 $A-B$

AΒ Compds. of structure I [X = various amino, amidino, quanidino, and N-heterocyclic groups; Y = alkylene, alkynylene, alkenylene, etc.; B = alkylene with optional amide moiety in chain; R1 = H, alkoxyalkyl, alkoxycarbonylalkyl, (di)(alkyl)aminoalkyl, aralkyl; R6, R7 = H, (di)alkylaminoalkyl, alkoxycarbonylaminoalkyl, alkylsulfonylaminoalkyl, alkylcarbonylaminoalkyl; R12 = OH, alkoxy, dialkylaminocarbonylmethoxy, aryldialkylaminocarbonylmethoxy; with provisos], are described which inhibit osteoclast-mediated bone resorption. Specifically, the compds. are useful for treating mammals suffering from a bone condition caused or mediated by increased bone resorption, who are in need of such therapy. The compds. may be administered in oral dosage forms such as tablets, capsules, e.g. sustained release capsules, powders, granules, and suspensions. Syntheses of approx. 50 compds. in 37 synthetic examples are described. Thus, amidation of Me 4-[2-(4-aminopyridin-6-yl)ethoxy]benzoic acid (preparation given) with (R)-H2NCH2CH(NHSO2Ph)CO2CMe3.HCl (preparation given)

using EDC, N-hydroxybenzotriazole (HOBt), and N-methylmorpholine in DMF, followed by deprotection with CF3CO2H gave desired compound II. In EIB and OCFORM assays, prepared compds. I had values ranging 0.5-500 nM and 1-1000 nM, resp.

IT 163209-40-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyridyl- and naphthyridylalkoxybenzoyl $\beta\text{-alanine}$ derivs. and analogs as bone resorption inhibitors)

RN 163209-40-3 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridyl- and naphthyridylalkoxybenzoyl $\beta\text{-alamine}$ derivs. and analogs as bone resorption inhibitors)

RN 163210-54-6 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)-, 1,1-dimethylethyl ester

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1996:181547 CAPLUS

DOCUMENT NUMBER:

124:232066

TITLE:

N-(Guanidinoalkoxybenzoyl)- α -

(phenylsulfonylamino)- β -alanine derivatives and analogs for inhibiting osteoclast-mediated bone

resorption

INVENTOR(S):

Hartman, George D.; Duggan, Mark E.; Ihle, Nathan C.;

Hoffman, William F.

PATENT ASSIGNEE(S):

Merck and Co., Inc., USA

SOURCE:

PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA.	TENT			KII								_		DATE			
	0533					1005								1005	0.5.10		
WO	9532	710		Α.	T	TAAD	1207		M	J IA	95-0	5593	Ö	T330	USIZ		
	W:	ΑM,	ΑU,	BB,	ВG,	BR,	BY,	CA,	CN,	${\operatorname{CZ}}$,	EE,	FΙ,	GE,	HU,	IS,	JP,	KG,
		KR,	KΖ,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	MX,	NO,	NZ,	PL,	RO,	RU,	SG,
		SI,	SK,	ΤJ,	TM,	TT,	UA,	US,	UZ								
	RW:	KE,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,
		,	,			•								GN,	,	,	
			TD,		,	•	•	,	,	•	•	•	,	•	,	,	,
CA	2190		,		A	1995	1207		C	A 19	95-2	1908'	70	1995	0512		
AU	9525	868		A.	1	1995	1221		Αľ	J 19:	95-2	5868		1995	0512		
	7017																
EP	7606	58		A:	1	1997	0312		E.	P 19:	95-92	2040	9	1995	0512		
	7606					2002							-				
						DK.	ES.	FR.	GB.	GR.	ŤE.	TΤ.	LT.	LU,	NT.	PT.	SE
TP	1050													,		/	
	2275													1995			
ES	2186													1995			
US	5741	796		Α		1998	0421		US	3 199	96-71	1409	7	19960	0926		
PRIORIT:	Y APP	LN.	INFO	. :				Į	JS 19	994-2	25021	18	Α	1994	0527		

WO 1995-US5938 W 19950512

OTHER SOURCE(S):

MARPAT 124:232066

GΙ

AB Compds. of structure I [X = various amino, amidino, guanidino, and N-heterocyclic groups; Y = alkylene, alkynylene, alkenylene, etc.; B = alkylene with optional amide moiety in chain; R1 = H, alkoxyalkyl, alkoxycarbonylalkyl, (di)(alkyl)aminoalkyl, aralkyl; R6, R7 = H, (di)alkylaminoalkyl, alkoxycarbonylaminoalkyl, alkylsulfonylaminoalkyl, alkylcarbonylaminoalkyl; R12 = OH, alkoxy, dialkylaminocarbonylmethoxy, aryldialkylaminocarbonylmethoxy; with a proviso], which inhibit osteoclast-mediated bone resorption. Syntheses of approx. 50 compds. in 37 synthetic examples are described. For example, amidation of 4-(BOC-NHCH2CH2O)C6H4CO2H with (R)-H2NCH2CH(NHSO2Ph)CO2Bu-tert.HCl [preparation given] using BOP reagent and NMM in MeCN, followed by deprotection with CF3CO2H and condensation of the amine with DPFN [3,5-dimethyl-1-pyrazolylformamidine nitrate], gave title compound II. In the EIB and OCFORM assays, I had values ranging 0.5-500 nM and 1-1000 nM, resp.

ΙI

IT 163210-54-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of N-(guanidinoalkoxybenzoyl)- α -(phenylsulfonylamino)- β -alanine derivs. and analogs as bone resorption inhibitors)

RN 163210-54-6 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ΙT 163209-40-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(product and intermediate; preparation of N-(quanidinoalkoxybenzoyl)- α -(phenylsulfonylamino)- β -alanine derivs. and analogs as bone resorption inhibitors)

163209-40-3 CAPLUS RN

L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-CNyl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1995:563197 CAPLUS

DOCUMENT NUMBER:

122:315098

TITLE:

Preparation of peptide analogs as fibrinogen receptor

antagonists

INVENTOR(S):

Egbertson, Melissa S.; Turchi, Laura M.; Hartman, George D.; Halczenko, Wasyl; Whitman, David B.; Perkins, James J.; Krause, Amy E.; Ihle, Nathan;

Claremon, David Alan; et al.

PATENT ASSIGNEE(S):

Merck and Co., Inc., USA

SOURCE:

PCT Int. Appl., 236 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	CENT 1	NO.		KI	ND	DATE			А	PPLI	CATI	ON N	Ο.	DATE			
	WO	9412	 181		A	 1	1994	0609		W	 0 19	93-U	 S116	 23	1993	1129		
		W:	ΑU,	BB,	BG,	BR,	BY,	CA,	CZ,	FI,	HU,	JΡ,	KR,	KZ,	LK,	LV,	MG,	MN,
								RU,								-	•	
		RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG		
	CA	2150	550		\mathbf{A}	A	1994	0609		C.	A 19	93-2	1505	50	1993	1129		
	ΑU	94582	268		A	1	1994	0622		A.	U 19	94-5	8268		1993	1129		
	ΑU	67568	89		B:	2	1997	0213										
	EΡ	6732	47		A	1	1995	0927		E	P 19	94-9	0406	9	1993	1129		
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LΙ,	LU,	ΝL,	PT,	SE
	JΡ	08504	4194		T:	2	1996	0507		J	P 19	93-5	1346	4	1993	1129		
		56483																
PRIOR	ITY	APPI	LN. I	INFO	. :				Ţ	JS 1	992-	9846	71		1992	1201		
									Ţ	VO 1	993-	US11	623		1993	1129		
OTHER	SC)URCE	(S) ·			MAR	PAT	122 - 1	31509	9.8								

OTHER SOURCE(S):

MARPAT 122:315098

HN
$$\stackrel{\text{O}}{\longrightarrow}$$
 $\stackrel{\text{O}}{\longrightarrow}$ $\stackrel{\text{CO}_2\text{H}}{\longrightarrow}$ $\stackrel{\text{CO}_2\text{H}}{\longrightarrow}$ $\stackrel{\text{HN}}{\longrightarrow}$ $\stackrel{\text{N}}{\longrightarrow}$ $\stackrel{\text{CO}_2\text{Bu}}{\longrightarrow}$

AB X-Y-Z-Ar-A-B [X = NR1R2, NR1C(:NR2)R1, (substituted) 4-10 membered monoor polycyclic (aromatic) ring, etc.; R1-R3 = H, alkyl, cycloalkyl, arylalkyl, aminoalkyl, hydroxyalkyl, etc.; Y = alkylene, cycloalkylene, Y1NR3COY1, etc.; Y1 = C0-8 alkyl; Z, A = (CH2)m, (CH2)mO(CH2)n, (CH2)mNR3(CH2)n, (CH2)mSO2(CH2)n, etc.; Ar = (substituted) 6-membered monocyclic aromatic ring containing 0-4 N atoms; B = CR6R7COR12, CR8R9CR10R11(CH2)pCOR12; R7-R11 = H, F, hydroxyalkyl, carboxyalkyl, alkoxy, cycloalkyl, dialkylaminoalkyl, arylalkylaminosulfonylalkyl, etc.; p = 0, 1; R12 = OH, alkoxy, alkylcarbonyloxyalkoxy, amino acid residue, etc.; with provisos], were prepared Title compound I was prepared by solution phase coupling methods. Preferred title compds. inhibited platelet aggregation with IC50 = 0.009-170 μM.

IT 163209-40-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide analogs as fibrinogen receptor antagonists)

RN 163209-40-3 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 163210-54-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide analogs as fibrinogen receptor antagonists)

RN 163210-54-6 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> d his

(FILE 'HOME' ENTERED AT 08:47:59 ON 30 MAR 2004)

FILE 'REGISTRY' ENTERED AT 08:48:09 ON 30 MAR 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 498238 S 3-4/NR AND 3-6/N AND 3-7/O AND 0-1/S

0 S L1 SAM SUB=L3

L5 4 S L1 FULL SUB=L3

FILE 'CAPLUS' ENTERED AT 08:50:34 ON 30 MAR 2004 6 S L5

=> d 11

L4

L6

L1 HAS NO ANSWERS

L1 STR

G1

G2

G3 C,P

G4 [@1], [@2]

Structure attributes must be viewed using STN Express query preparation.

=> => d ibib abs hitstr 1-4

L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:396869 CAPLUS

DOCUMENT NUMBER: 138:401724

TITLE: Preparation of carboxylic acid derivatives as

INVENTOR(S):

peroxisome proliferator activated receptor regulators

Tajima, Hisao; Nakayama, Yoshisuke Ono Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S):

PCT Int. Appl., 86 pp.

SOURCE:

GT

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----______ WO 2002-JP11729 20021111 WO 2003042194 Α1 20030522 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2001-346583 A 20011112 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 138:401724

The title compds. I [X, Y = alkylene; Z = O, S; R1 - R4 = H, alkyl; R5 =AΒ alkenyl; A = O, S; D = Q1, etc.; ring A1 = saturated heteroaryl; R6 = H, alkyl, etc.; m = 1 - 3] are prepared I are useful in the treatment of diabetes, obesity, syndrome X, hypercholesterolemia, etc. The peroxisome proliferator activated receptor regulating activity of one compound of this invention was demonstrated. Formulations are given.

ΙΤ 530130-12-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation and bioeffect of carboxylic acid derivs. as peroxisome proliferator activated receptor regulators)

RN 530130-12-2 CAPLUS

CN Glycine, N-[[3-[2-[5-methyl-2-[4-(1,2,3-thiadiazol-4-yl)phenyl]-4oxazolyl]ethoxy]phenyl]methyl]-N-2-propenyl- (9CI) (CA INDEX NAME)

IT 530129-62-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of carboxylic acid derivs. as peroxisome proliferator activated receptor regulators)

RN 530129-62-5 CAPLUS

CN Glycine, N-[[3-[2-[5-methyl-2-[4-(1,2,3-thiadiazol-4-yl)phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]-N-2-propenyl-, ethyl ester (9CI) (CA INDEX NAME)

IT 530129-81-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxylic acid derivs. as peroxisome proliferator activated receptor regulators)

RN 530129-81-8 CAPLUS

CN Glycine, N-[[3-[2-[5-methyl-2-[4-(1,2,3-thiadiazol-4-yl)phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]-N-2-propenyl-, sodium salt (9CI) (CA INDEX NAME)

Na

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/616,283

ACCESSION NUMBER:

2002:964190 CAPLUS

DOCUMENT NUMBER:

138:39272

TITLE:

Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and

related conditions

INVENTOR(S):

Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn;

Vance, Jennifer Ann; Warshawsky, Alan M.

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.		KI	ND	DATE			А	PPLI	CATI	ON N	Ο.	DATE			
WO	2002	1004	 03	A	1	2002	1219				 02-U		 43	2002	0524		
	W:	ΑE,	AG,	ΑL,	AM,	ΑT,	AT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	CZ,	DE,	DK,	DK,	DM,	DΖ,	EC,	EE,	EE,	ES,	FI,
		FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KΕ,	KG,	KP,
		KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MΖ,	NO,	NΖ,	OM,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SK,	SL,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ												
	RW:	GH,	GM,	KΕ,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,
														NE,			
PRIORITY	APP													2001		•	
OTHER SO	DURCE	(S):			MAR	PAT :	138:	3927:	2								
GI																	

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OH
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Title compds. I [wherein n = 2-5; V = a bond or O; X = CH2 or O; p = 0 or 1; m = 1-4; Y1 = (un)substituted (hetero)aryl; Y2 and Y3 = independently H, alkyl, or alkoxy; Y4 = (un) substituted alk(en/yn) ylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R5 = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs2CO3 in DMF. Deprotection of the amine using NaBH4 in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

(PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

RN 478543-37-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[4-[[(2,5-dichloro-3-thienyl)carbonyl]amino]phenyl]-5-methyl-4-oxazolyl]ethoxy]-2-[[[(1-methylethoxy)carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{i-PrO-C-NH-CH}_2\\ \text{Cl} \\ \text{Cl} \\ \end{array}$$

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:502825 CAPLUS

DOCUMENT NUMBER:

137:63237

TITLE:

Preparation of oxazolyl- and

thiazolylalkoxybenzylglycines and related compounds as

antidiabetic and antiobesity agents

INVENTOR(S):

Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; Chen,

Sean; Zhang, Hao

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

U.S., 190 pp., Cont.-in-part of U.S. Ser. No. 664,598.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE
US 6414002	В1	20020702	US 2001-812960 20010320
US 2003069275	A1	20030410	US 2002-80965 20020222
US 2003087935	Al	20030508	US 2002-81075 20020222
US 2003096846	A1	20030522	US 2002-80981 20020222
US 6653314	В2	20031125	
PRIORITY APPLN. INFO.	:		US 1999-155400P P 19990922
			US 2000-664598 A2 20000918
			US 2001-812960 A3 20010 3 20

OTHER SOURCE(S):

MARPAT 137:63237

GI

$$R^{2}$$
?

 R^{2} ?

Title compds. I [wherein Q = C, N; A = O, S; B = (CH2)x; Z = O, bond; X = CH, N; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, amino; R3 = H, alkyl, aralkyl, aryloxycarbonyl, alkoxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R2a, R2b, R2c = H, alkyl, alkoxy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4 = H, alkyl, prodrug or ester; R4a = H, prodrug ester; R5 = alkyl, aryl; x = 1-4; m, n = 1, 2] were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addition of N-benzylglycine Et ester and NaBH(OAc)3 in 1,2-dichloroethane afforded the benzylamine derivative (55%), which was stirred with aqueous NaOH in MeOH for

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14 h

to give the title compound II (71%). I are useful for the treatment of diabetes, especially Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases (no data).

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related

compds. as antidiabetic and antiobesity agents)

RN 331742-86-0 CAPLUS

CN Glycine, N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N[(5-nitro-2-thienyl)carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{HO}_2\text{C}-\text{CH}_2 \\ \text{Ph} \end{array} \begin{array}{c} \text{CH}_2-\text{CH}_2-\text{O} \\ \text{O} \end{array} \begin{array}{c} \text{S} \\ \text{NO}_2 \\ \text{O} \end{array}$$

RN 331743-64-7 CAPLUS

CN Glycine, N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[[[3-(methylthio)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Ph
$$CH_2-CH_2-O$$
 CH_2-CO_2H $CH_2-N-C-NH$ $CH_2-N-C-NH$ $CH_2-N-C-NH$

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:228872 CAPLUS

DOCUMENT NUMBER:

134:266299

TITLE:

Preparation of oxazolyl- and

thiazolylalkoxybenzylglycines and related compounds as

antidiabetic and antiobesity agents.

INVENTOR(S):

Cheng, Peter T. W.; Devasthale, Pratik; Jeon, Yoon T.;

Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 362 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	٥.	DATE			
WO	2001	0216	02	 A	 1	2001	 0329		M.	20	- 0 0 - U:	- - S257	10	2000	0919		
	W:	ΑE,	AG,	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,
		CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,
		ID,	ΙL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,
		SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,
		ZW ,	AM,	ΑZ,	ΒY,	KG,	KΖ,	MD,	RU,	TJ,	TM						
	RW:	GH,	GM,	KΕ,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ΜL,	MR,	NE,	SN,	TD,	TG			
EΡ	1218	361		A.	1	2002	0703		E	200	00-98	65172	2	20000)919		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL							
BR	2000	01418	39	А		2002	0820		BI	200	00-14	1189	:	20000	919		

JP 2003509503 T2 20030311 JP 2001-524981 20000919
NO 2002001408 A 20020514 NO 2002-1408 20020321
PRIORITY APPLN. INFO.: US 1999-155400P P 19990922
WO 2000-US25710 W 20000919

OTHER SOURCE(S): MARPAT 134:266299

GI

AB Title compds. [I; Q = C, N; A = O, S; B = (CH2)x; Z = O, bond; X = CH, N; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, amino; R3 = H, alkyl, aralkyl, aryloxycarbonyl, alkoxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R2a, R2b, R2c = H, alkyl, alkoxy, halo, amino; Y = CO2R4, 1-tetrazolyl, PO(OR4a)R5; R4 = H, alkyl, prodrug or ester; R4a = H, prodrug ester; R5 = alkyl, aryl; x = 1-4; m, n = 1, 2], were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to give 65% 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)3 in 1,2-dichloroethane to give 55% benzylamine derivative, which was stirred 14 h with aqueous NaOH in MeOH to give 71% title compound (II).

I

IT 331742-86-0P 331743-64-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 331742-86-0 CAPLUS

CN Glycine, N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N[(5-nitro-2-thienyl)carbonyl]- (9CI) (CA INDEX NAME)

Glycine, N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[[[3-(methylthio)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

L1 L2 (FILE 'HOME' ENTERED AT 08:47:59 ON 30 MAR 2004)

FILE 'REGISTRY' ENTERED AT 08:48:09 ON 30 MAR 2004 STRUCTURE UPLOADED 0 S L1

498238 S 3-4/NR AND 3-6/N AND 3-7/O AND 0-1/S L30 S L1 SAM SUB=L3 L44 S L1 FULL SUB=L3 L5

FILE 'CAPLUS' ENTERED AT 08:50:34 ON 30 MAR 2004 6 S L5 L6

FILE 'REGISTRY' ENTERED AT 08:51:54 ON 30 MAR 2004

L7STRUCTURE UPLOADED

L8 1 S L7

L9510038 S 3-4/NR AND 3-7/N AND 3-7/O AND 0-1/S

L10 0 S L7 SAM SUB=L9 L11 6 S L7 FULL SUB=L9

> FILE 'CAPLUS' ENTERED AT 08:54:13 ON 30 MAR 2004 4 S L11

L12

=> d 17

L7 HAS NO ANSWERS

L7STR

G2 G3 C, P

G1

G4 [@1], [@2]

Structure attributes must be viewed using STN Express query preparation.

=> => d ibib abs hitstr 1-12

ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:424638 CAPLUS

DOCUMENT NUMBER:

137:140770

TITLE:

A Novel Peptide-Based Encoding System for "One-Bead

One-Compound" Peptidomimetic and Small Molecule

Combinatorial Libraries

AUTHOR(S):

SOURCE:

Liu, Ruiwu; Marik, Jan; Lam, Kit S.

CORPORATE SOURCE:

Division of Hematology & Oncology Department of

Internal Medicine, UC Davis Cancer Center University

of California Davis, Sacramento, CA, 95817, USA Journal of the American Chemical Society (2002),

124(26), 7678-7680

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal LANGUAGE: English

The "one-bead one-compound" (OBOC) combinatorial library method is highly efficient, especially when used with well-established on-bead binding or functional assays. Literally, millions of compds. can be screened concurrently within 1 to 2 days. However, structure determination of peptidomimetic and small mol. compds. on one single bead is not trivial. A novel, highly efficient, and robust peptide-based encoding system has been developed for OBOC peptidomimetic and small mol. combinatorial libraries. In this system, topol. segregated bifunctional beads, which are made by a simple biphasic solvent strategy, are employed for the preparation and screening of an OBOC combinatorial peptidomimetic and small mol. libraries. Testing mols. are on the outer layer, and the coding tags in the interior of the bead do not interfere with screening. The coding tag is a peptide containing a large number of unnatural α -amino acids derived from different building blocks used for generating the peptidomimetic or small mol. By coupling common building blocks simultaneously to the scaffold of the testing compound and to the side chains of the α -amino acids on the coding peptide, extra synthetic steps are eliminated and the amount of undesirable side products is minimized. Pos. bead decoding is easy and straightforward as there is no



PALM INTRANET

Day: Tuesday Date: 3/30/2004 Time: 09:05:35

Inventor Name Search Result

Your Search was:

Last Name = CHENG First Name = PETER

Application#	Patent#	Status	Date Filed	Title	Inventor Name 51
60417668	Not Issued	020	10/10/2002	METHOD OF LUBRICATING MULTIPLE MAGNETIC DISKS IN CLOSE PROXIMITY	CHENG, PETER
60408633	Not Issued	020	09/06/2002	NON-NUCLEOSIDIC COUMARIN DERIVATIVES AS POLYNUCLEOTIDE-CROSSLINKING AGENTS	CHENG, PETER C
60394553_	Not Issued	159	07/09/2002	SUBSTITUTED HETEROCYCLIC DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T W
60394508	Not Issued	159	07/09/2002	SUBSTITUTED HETEROCYCLIC DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T W.
<u>60302755</u>	Not Issued	159	07/03/2001	INVERTIBLE TELEPHONE EARPIECE	CHENG, PETER
60294505	Not Issued	159	05/30/2001	CONFORMATIONALLY CONSTRAINED ANALOGS USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T
60294380	Not Issued	159	05/30/2001	SUBSTITUTED AZOLE ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T
29131643	D445065	150	10/25/2000	BOW	CHENG, PETER S.C.
29127321	Not Issued	164	08/03/2000	BOW	CHENG, PETER S.C
<u>10737210</u>	Not	020	12/16/2003	SUBSTITUTED ACID DERIVATIVES	CHENG,

		Issued			USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	PETER T.
	10735174	Not Issued	018	01/01/0001	ASSAYS USING CROSSLINKABLE IMMOBILIZED NUCLEIC ACIDS	CHENG, PETER C
4	10655876	Not Issued	018	09/05/2003	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
	10655021	Not Issued	019	09/05/2003	NON-NUCLEOSIDIC COUMARIN DERIVATIVES AS POLYNUCLEOTIDE-CROSSLINKING AGENTS	CHENG, PETER C
4	10616365	Not Issued	030	07/08/2003	SUBSTITUTED HETEROCYCLIC DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.W.
V	10616283	Not Issued	030	07/08/2003	SUBSTITUTED HETEROCYCLIC DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T. W.
	10434540	Not Issued	030	05/09/2003	METHOD OF LUBRICATING MULTIPLE MAGNETIC STORAGE DISKS IN CLOSE PROXIMITY	CHENG, PETER
7,	10294525	Not Issued	030	11/14/2002	SUBSTITUTED AZOLE ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T
	10272466	Not Issued	093	10/15/2002	NUCLEIC ACID SEQUENCE DETECTION EMPLOYING PROBES COMPRISING NON-NUCLEOSIDIC COUMARIN DERIVATIVES AS POLYNUCLEOTIDE-CROSSLINKING AGENTS	CHENG, PETER C.
7	10153454	Not Issued	164	05/22/2002	SUBSTITUTED AZOLE ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T
	<u>10153342</u>	Not Issued	030	05/22/2002	CONFORMATIONALLY CONSTRAINED ANALOGS USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T
\$	10081075	Not Issued	094	02/22/2002	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.

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A	10080981	6653314	150	02/22/2002	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
<u> </u>	10080965	Not Issued	041	02/22/2002	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
	10021923	Not Issued	161	12/13/2001	BEVERAGE CONTAINER ACCESSORIES	CHENG, PETER
	09934679	6495682	150	08/23/2001	PROCESS FOR RECOVERING CAPROLACTAM AND STEAM	CHENG, PETER W.H
***************************************	09886089	<u>6587038</u>	150	06/22/2001	ALARM GENERATION USING A MOTOR	CHENG, PETER L.
	09886088	6557961	150	06/22/2001	VARIABLE INK FIRING FREQUENCY TO COMPENSATE FOR PAPER COCKLING	CHENG, PETER L
	09812960	6414002	150	03/20/2001	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
	09730060	6477789	150	12/05/2000	VENTILATED SHOE INSOLE HAVING MINIMAL HEIGHT FRONT REGION	CHENG, PETER
	<u>09679759</u>	<u>6561393</u>	150	10/05/2000	COLLAPSIBLE HAT AND METHOD OF COLLAPSING THE HAT	CHENG, PETER S.C.
\ \ K	09664598	Not Issued	168	8 . 1	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
0.0000000000000000000000000000000000000	<u>09660352</u>	6421581	150	09/12/2000	PRINTER WITH IMPROVED PAGE FEED	CHENG, PETER L.
	09551305	6573048	150	04/18/2000	DEGRADABLE NUCLEIC ACID PROBES AND NUCLEIC ACID DETECTION METHODS	CHENG, PETER C
	<u>09496106</u>	6357641	150	02/01/2000	ACCESSORY HOLDER	CHENG, PETER
	09390124	6495676	150	09/03/1999	NUCLEIC ACID SEQUENCE DETECTION EMPLOYING PROBES COMPRISING NON-NUCLEOSIDIC COUMARIN DERIVATIVES AS POLYNUCLEOTIDE-CROSSLINKING AGENTS	CHENG, PETER C
200 m	<u>09189294</u>	6303799	150	1	POLYNUCLEOTIDE CROSSLINKING AGENTS	CHENG , PETER C.

08415910	Not	161	04/03/1995	PROCESS FOR PREPARING	CHENG,
	Issued			DIOXOLENONE DERIVATIVES USED	PETER T
				FOR MAKING PRODRUG ESTERS AND INTERMEDIATES	
07867788	<u>D342921</u>	150	04/10/1992	GIFT PACKAGE BOW	CHENG , PETER S C.
07857512	5240750	150	03/25/1992	DECORATIVE	CHENG,
				THREE-DIMENSIONAL, HEART-SHAPED BOW AND METHOD OF MAKING SAME	PETER S.C.
07856338	<u>D343143</u>	150	03/23/1992	BOW DECORATION	CHENG , PETER S. C.
<u>07536702</u>	5023118	250	06/12/1990	ARTIFICIAL FLOWER WITH INFLATABLE PETALS AND/OR INFLATABLE MULTIPLE PETAL ASSEMBLIES	CHENG, PETER S. C.
07536481_	D327662	150	06/12/1990	INFLATABLE BOUQUET	CHENG, PETER S.C.
07530194	Not Issued	161	05/29/1990	CLEANABLE ACCESSORY FOR CONVERTING EATING UTENSILS INTO SERVING TONGS	CHENG , PETER S C
07266626	D307493	150	11/03/1988	FLAT TOOTHPICK DISPENSER CARD	CHENG , PETER S.C.
07266625	D319419	150	11/03/1988	COMBINED STREAMER DECORATION AND CLOSURE	CHENG , PETER S. C
<u>07261186</u>	D316801	150	10/24/1988	FRUIT PEELER	CHENG , PETER S. C.
07092931	D311156	150	09/04/1987		CHENG, PETER S.C.
06937873	<u>4712267</u>	150	12/04/1986	CONVERTIBLE TOOTHBRUSH	CHENG , PETER S. C.
06907002	4755796	150		PROCESSING DEVICES	CHENG , PETER S. C.
06879569	4656064	150		:	CHENG , PETER S. C.

<u>06846055</u> <u>4693695</u> 150 03/31/1986	ASCENDING AND DESCENDING C	HENG,
	BALLOON ACTION TOY	ETER
	S	S.C.
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